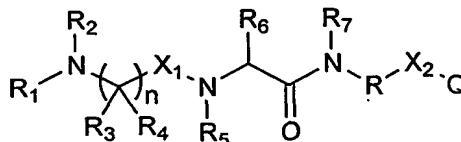


## CLAIMS

What is claimed is:

1. A compound having the structure (I):



(I)

and pharmaceutically acceptable derivatives thereof;

wherein  $n$  is 0, 1, 2, 3 or 4;

$X_1$  and  $X_2$  are each independently  $CR_AR_B$ ,  $C(=O)$ , or  $-SO_2-$ ; wherein each occurrence of  $R_A$  and  $R_B$  is independently hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

$R_1$  and  $R_2$  are each independently hydrogen,  $-(C=O)R_C$  or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; wherein each occurrence of  $R_C$  is independently hydrogen, OH,  $OR_D$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; wherein  $R_D$  is an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

each occurrence of  $R_3$  and  $R_4$  is independently hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; or wherein any two  $R_1$ ,  $R_2$ ,  $R_3$  and  $R_4$  groups, taken together, may form an alicyclic, heteroalicyclic, alicyclic(aryl), heteroalicyclic(aryl), alicyclic(heteroaryl) or heteroalicyclic(heteroaryl) moiety, or an aryl or heteroaryl moiety;

$R_5$ ,  $R_6$  and  $R_7$  are each independently hydrogen,  $-(C=O)R_E$  or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, wherein each occurrence of  $R_E$  is independently hydrogen, OH,  $OR_F$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, or wherein any two  $R_5$ ,  $R_6$  and  $R_7$  groups, taken together, form an alicyclic, heteroalicyclic, alicyclic(aryl), heteroalicyclic(aryl), alicyclic(heteroaryl) or heteroalicyclic(heteroaryl) moiety, or an aryl or heteroaryl moiety; wherein  $R_F$  is an aliphatic, alicyclic, heteroaliphatic,

heteroalicyclic, aryl or heteroaryl moiety; or  $R_7$  may be absent when  $NR_7$  is linked to  $R$  via a double bond;

$R$  is an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and

$Q$  is  $OR^{Q'}$ ,  $SR^{Q'}$ ,  $NR^{Q'}R^{Q''}$ ,  $N_3$ ,  $=N-OH$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; wherein  $R^{Q'}$  and  $R^{Q''}$  are each independently hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, or  $R^{Q'}$  and  $R^{Q''}$ , taken together with the nitrogen atom to which they are attached, may form an alicyclic, heteroalicyclic, alicyclic(aryl), heteroalicyclic(aryl), alicyclic(heteroaryl) or heteroalicyclic(heteroaryl) moiety, or an aryl or heteroaryl moiety;

with the proviso that:

- (viii) the compound is not a naturally occurring Hemiasterlin; and
- (ix) the following groups do not occur simultaneously as defined:

$n$  is 1;

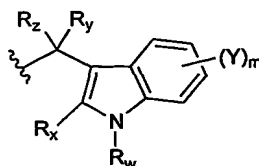
$X_1$  and  $X_2$  are each  $C(=O)$ ;

$R_1$  is hydrogen, an optionally substituted alkyl or acyl group, or an optionally substituted methylene or  $-CH=$  group bonded to the indole moiety thereby forming a tricyclic moiety;

$R_2$  is hydrogen, an optionally substituted alkyl or acyl group, or is absent when  $R_1$  is  $-CH=$  as defined above;

$R_3$  is hydrogen or is absent when  $CR_3$  and  $CR_yR_z$ , as defined herein, are linked by a double bond;

$R_4$  is a moiety having the structure:



wherein  $R_w$ ,  $R_y$  and  $R_z$  are each independently hydrogen, or optionally substituted alkyl or acyl, or  $R_z$  is absent when  $CR_3$  and  $CR_yR_z$ , as defined herein, are linked by a double bond; with the limitation that  $R_y$  and  $R_z$  are not simultaneously hydrogen;  $R_x$  is hydrogen or an optional

substituent, or is absent when  $R_1$  is an optionally substituted methylene or  $-\text{CH}=\text{}$  group as defined above;  $Y$  is an optional substituent; and  $m$  is 0, 1, 2, 3 or 4;

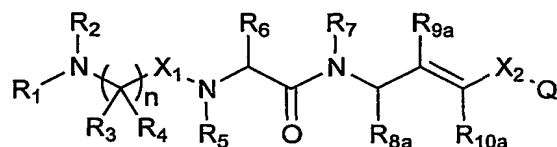
$R_5$  is hydrogen, OH or an optionally substituted alkyl or acyl group;

$R_6$  is hydrogen or an optionally substituted alkyl group;

$R_7$  is hydrogen or alkyl; and

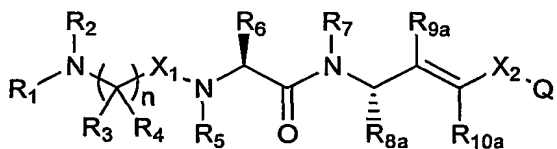
$-\text{R}-\text{X}_2-\text{Q}$  together represent an optionally substituted alkyl moiety or  $-\text{Q}'-\text{C}(\text{O})\text{X}$ , wherein  $\text{Q}'$  is an optionally substituted  $-\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}_2\text{CH}_2-$ ,  $-\text{CH}_2\text{CH}=\text{CH}-$ ,  $-\text{CH}_2\text{C}=\text{C}-$  or phenylene moiety, wherein  $\text{X}$  is  $-\text{OR}'$ ,  $-\text{SR}'$  or  $-\text{NR}'\text{R}''$  and each occurrence of  $\text{R}'$  and  $\text{R}''$  is independently hydrogen or optionally substituted alkyl.

2. The compound of claim 1 wherein  $\text{R}$  is  $-\text{CH}(\text{R}_{8a})\text{C}(\text{R}_{9a})=\text{C}(\text{R}_{10a})-$  and the compound has the following structure:

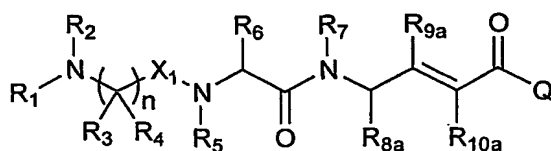


wherein  $\text{R}_{8a}$ ,  $\text{R}_{9a}$  and  $\text{R}_{10a}$  are each independently hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and wherein any two  $\text{R}_7$ ,  $\text{R}_{8a}$ ,  $\text{R}_{9a}$  and  $\text{R}_{10a}$  groups may form an alicyclic, heteroalicyclic, alicyclic(aryl), heteroalicyclic(aryl), alicyclic(heteroaryl) or heteroalicyclic(heteroaryl) moiety, or an aryl or heteroaryl moiety.

3. The compound of claim 2 having the following stereochemistry:

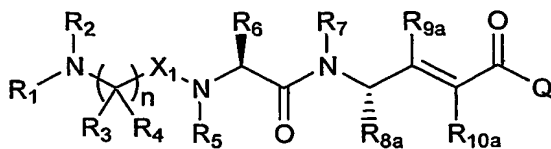


4. The compound of claim 2 wherein  $\text{X}_2$  is  $\text{C}=\text{O}$  and the compound has the following structure:

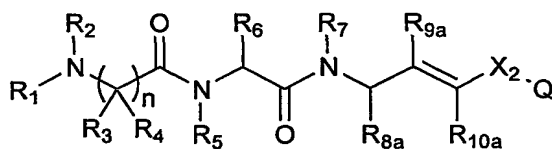


wherein  $X_1$  is  $C=O$ ,  $SO_2$ , or  $CR_A R_B$ , wherein  $R_A$  and  $R_B$  are each independently hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety.

5. The compound of claim 4 having the following stereochemistry:

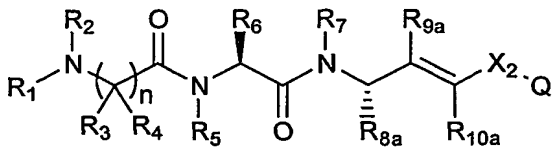


6. The compound of claim 2 wherein  $X_1$  is  $C=O$  and the compound has the following structure:

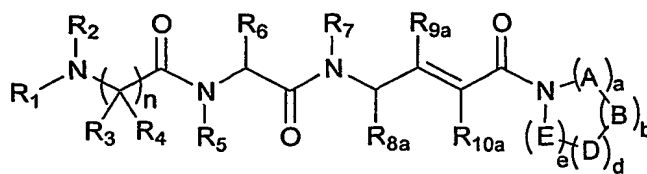


wherein  $X_2$  is  $C=O$ ,  $SO_2$ , or  $CR_A R_B$ , wherein  $R_A$  and  $R_B$  are each independently hydrogen, or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety.

7. The compound of claim 6 having the following stereochemistry:



8. The compound of claim 4 wherein  $X_1$  is  $C=O$ ; Q is an optionally substituted nitrogen-containing cyclic moiety; and the compound has the following structure:

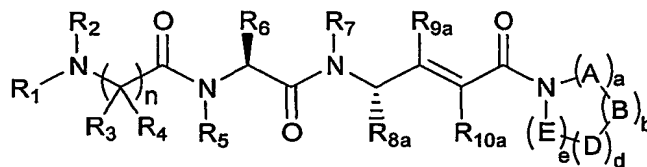


wherein each occurrence of A, B, D or E is independently  $\text{CHR}^i$ ,  $\text{CR}^i\text{R}^{ii}$ , O, S,  $\text{NR}^i\text{R}^{ii}$ , wherein each occurrence of  $\text{R}^i$  and  $\text{R}^{ii}$  is independently absent, hydrogen,  $-\text{C}(=\text{O})\text{R}^{iii}$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; or wherein any two adjacent  $\text{R}^i$ ,  $\text{R}^{ii}$  or  $\text{R}^{iii}$  groups, taken together, form a alicyclic or heteroalicyclic moiety containing 3-6 atoms or an aryl or heteroaryl moiety; wherein each occurrence of  $\text{R}^{iii}$  is an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

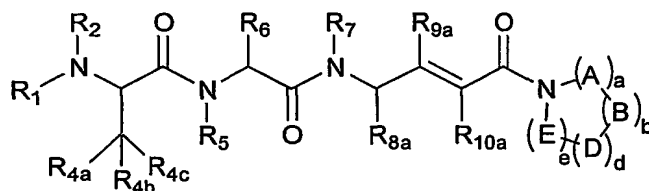
N and A, A and B, B and D, D and E, and E and N are each independently linked by a single or double bond as valency permits; and

a, b, d and e are each independently 0, 1, 2, 3, 4, 5, 6 or 7, wherein the sum of a, b, d and e is 4-7.

9. The compound of claim 8 having the following stereochemistry:



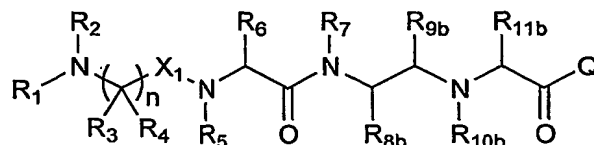
10. The compound of claim 8 wherein n is 1;  $\text{R}_1$  and  $\text{R}_2$  are each independently hydrogen or methyl;  $\text{R}_3$  is hydrogen and  $\text{R}_4$  is  $-\text{CR}_{4a}\text{R}_{4b}\text{R}_{4c}$ ; and the compound has the structure:



wherein  $\text{R}_{4a}$  and  $\text{R}_{4b}$  are each independently hydrogen or lower alkyl and  $\text{R}_{4c}$  is an aryl or heteroaryl moiety.

11. The compound of claim 10 wherein  $R_{4c}$  is substituted or unsubstituted phenyl.

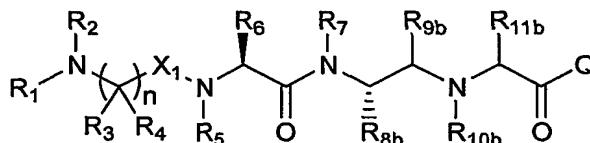
12. The compound of claim 1 having the following structure:



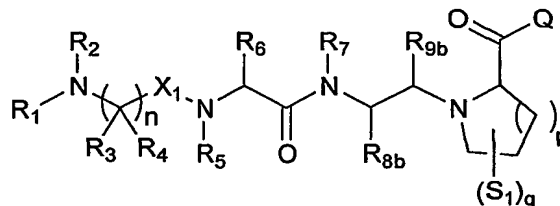
wherein  $R_{8b}$ ,  $R_{9b}$ ,  $R_{10b}$  and  $R_{11b}$  are each independently absent, hydrogen,  $-(C=O)R_L$  or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, wherein each occurrence of  $R_L$  is independently hydrogen, OH,  $OR_M$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, or wherein any two  $R_{8b}$ ,  $R_{9b}$ ,  $R_{10b}$  and  $R_{11b}$  groups, taken together, form a alicyclic or heteroalicyclic moiety, or an aryl or heteroaryl moiety; wherein  $R_M$  is an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and

$NR_7$  and  $CR_{8b}$ ,  $CR_{8b}$  and  $CR_{9b}$ ,  $CR_{9b}$  and  $CR_{10b}$ ,  $CR_{10b}$  and  $CR_{11b}$  are each independently linked by a single or double bond as valency permits.

13. The compound of claim 12 having the following stereochemistry:

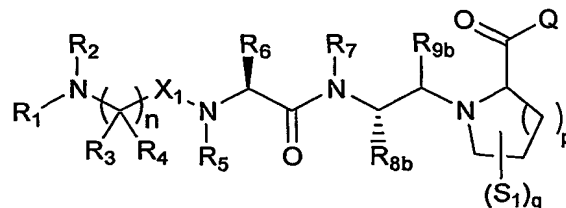


14. The compound of claim 1 having the structure:

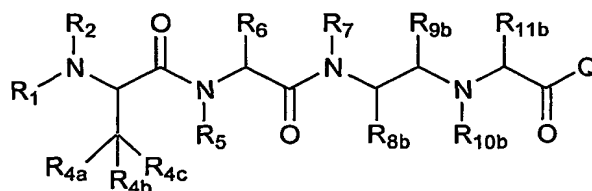


wherein  $p$  is 1, 2, 3 or 4;  $q$  is 0-12; and each occurrence of  $S_1$  is independently an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, or any two adjacent  $S_1$  moieties, taken together, may form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety.

15. The compound of claim 14 wherein p is 1 and q is 0.
16. The compound of claim 14 having the following stereochemistry:

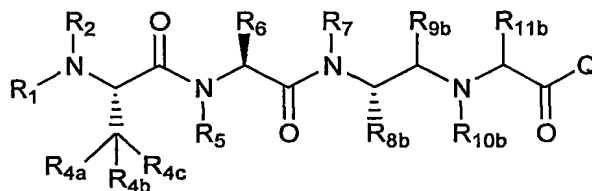


17. The compound of claim 1 having the following structure:

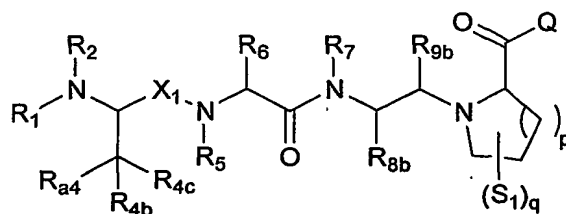


wherein  $R_{4a}$  and  $R_{4b}$  are each independently lower alkyl and  $R_{4c}$  is an aryl or heteroaryl moiety.

18. The compound of claim 17 wherein  $R_{4c}$  is substituted or unsubstituted phenyl.
19. The compound of claim 17 wherein the compound has the following structure:

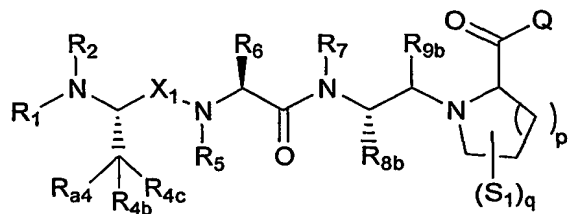


20. The compound of claim 1 having the structure:

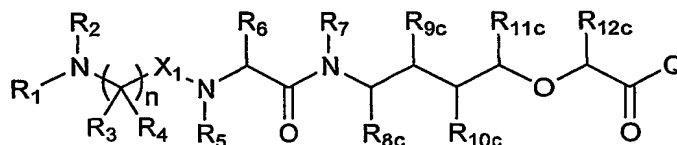


wherein  $p$  is 1, 2, 3 or 4;  $q$  is 0-12; and each occurrence of  $S_1$  is independently an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, or any two adjacent  $S_1$  moieties, taken together, may form an alicyclic, heteroalicyclic, aryl or heteroaryl moiety.

21. The compound of claim 20 having the following stereochemistry:



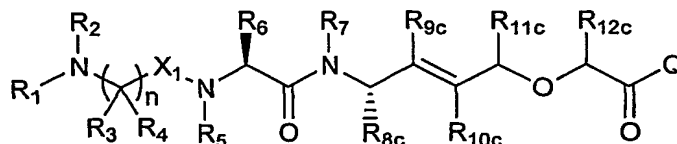
22. The compound of claim 1 having the following structure:



wherein  $R_{8c}$ ,  $R_{9c}$ ,  $R_{10c}$ ,  $R_{11c}$  and  $R_{12c}$  are each independently hydrogen,  $-(C=O)R_L$  or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, wherein each occurrence of  $R_L$  is independently hydrogen, OH,  $OR_M$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety, or wherein any two  $R_{8c}$ ,  $R_{9c}$ ,  $R_{10c}$ ,  $R_{11c}$  and  $R_{12c}$  groups, taken together, form an alicyclic or heteroalicyclic moiety, or an aryl or heteroaryl moiety; wherein  $R_M$  is an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and

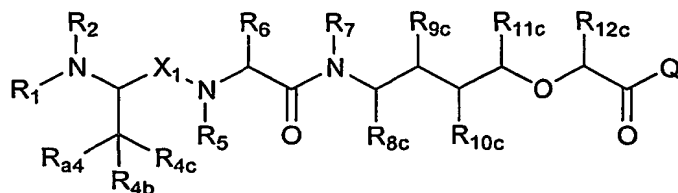
$NR_7$  and  $CR_{8c}$ ,  $CR_{8c}$  and  $CR_{9c}$ ,  $CR_{9c}$  and  $CR_{10c}$ , and  $CR_{10c}$  and  $CR_{11c}$  are each independently linked by a single or double bond as valency permits.

23. The compound of claim 22 having the following structure:





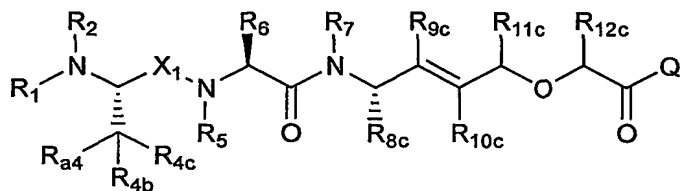
24. The compound of claim 1 having the structure:



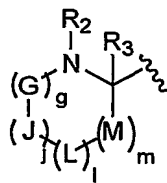
wherein  $R_{4a}$  and  $R_{4b}$  are each independently lower alkyl and  $R_{4c}$  is a substituted or unsubstituted aryl or heteroaryl moiety.

25. The compound of claim 24 wherein  $R_{4c}$  is substituted or unsubstituted phenyl.

26. The compound of claim 24 wherein the compound has the following structure:



27. The compound any one of claims 1, 2, 4, 6, 8, 12, 14 and 22, wherein the moiety  $-(CR_3R_4)_nNR_1R_2$  has the following structure:



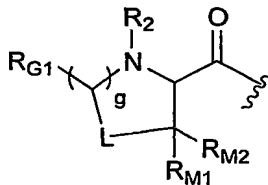
wherein  $R_3$  is hydrogen or is absent when the carbon atom bearing  $R_3$  is linked to N or M via a double bond, and each occurrence of G, J, L and M is independently  $CHR^{iv}$ ,  $CR^{iv}R^v$ , O, S,  $NR^{iv}R^v$ , wherein each occurrence of  $R^{iv}$  and  $R^v$  is independently absent, hydrogen,  $-C(=O)R^{vi}$ , or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; or wherein any two adjacent  $R_2$ ,  $R^{iv}$ ,  $R^v$  or  $R^{vi}$  groups, taken together, form a alicyclic or heteroalicyclic moiety containing 3-6 atoms or an aryl or heteroaryl moiety; wherein each

occurrence of  $R^{vi}$  is an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

N and G, G and J, J and L, L and M, M and  $CR_3$ , and  $CR_3$  and N are each independently linked by a single or double bond as valency permits; and

g, j, l and m are each independently 0, 1, 2, 3, 4, 5 or 6, wherein the sum of g, j, l and m is 3-6.

28. The compound of claim 27 wherein j is 0; l and m are each 1;  $R_3$  is hydrogen; G is  $CR_{G1}$ ; M is  $CR_{M1}R_{M2}$ , and the moiety  $-X_1-(CR_3R_4)_nNR_1R_2$  has the following structure:



wherein g is 1, 2, 3 or 4;

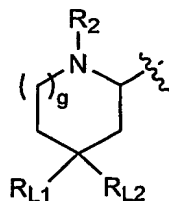
L is  $CR_{L1}R_{L2}$ , S, O or  $NR_{L3}$ , wherein each occurrence of  $R_{L1}$ ,  $R_{L2}$  and  $R_{L3}$  is independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

each occurrence of  $R_{G1}$ ,  $R_{M1}$  and  $R_{M2}$  is each independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and

wherein any two adjacent  $R_{L1}$ ,  $R_{L2}$ ,  $R_{L3}$ ,  $R_{G1}$ ,  $R_{M1}$  or  $R_{M2}$  groups, taken together, form a substituted or unsubstituted alicyclic or heteroalicyclic moiety containing 3-6 atoms or an aryl or heteroaryl moiety.

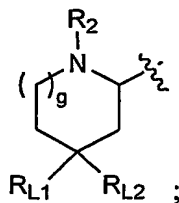
29. The compound of claim 28 wherein  $R_2$  is hydrogen, lower alkyl or acyl;  $R_{G1}$  is hydrogen, lower alkyl or phenyl; and  $R_{M1}$  and  $R_{M2}$  are each independently hydrogen, lower alkyl, phenyl or  $R_{M2}$  is absent when  $R_{M1}$ , taken together with a substituent on L, forms an aryl or heteroaryl moiety.

30. The compound of claim 27 wherein G, J and M are each  $CH_2$ ; j, l and m are each 1; and the moiety  $-(CR_3R_4)_nNR_1R_2$  has the following structure:



wherein  $R_{L1}$  and  $R_{L2}$  are each independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety.

31. The compound of claim 30 wherein  $R_2$  is hydrogen, lower alkyl or acyl;  $R_{L1}$  and  $R_{L2}$  are each independently hydrogen, lower alkyl, heteroalkyl, aryl or heteroaryl.
32. The compound of claim 4 or 6 wherein the moiety  $-(CR_3R_4)_nNR_1R_2$  has the following structure:



wherein  $g$  is 1, 2, 3 or 4;

$R_{L1}$  and  $R_{L2}$  are each independently hydrogen, lower alkyl, heteroalkyl, aryl or heteroaryl;

$R_2$  is hydrogen, lower alkyl or acyl;

$R_5$  and  $R_{9a}$  are each hydrogen;

$R_6$  is *tert*-butyl;

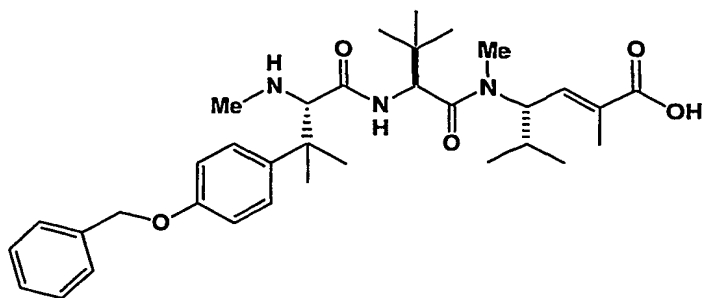
$R_7$  is methyl;

$R_{8a}$  is *iso*-propyl;

$R_{10a}$  is lower alkyl; and

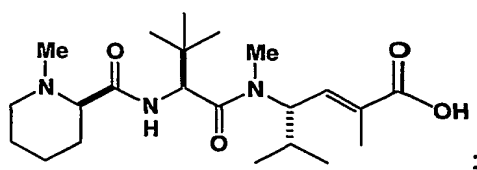
$Q$  is  $OR^{Q'}$  or  $NR^{Q'}R^{Q''}$ , wherein  $R^{Q'}$  and  $R^{Q''}$  are each independently hydrogen, lower alkyl, heteroalkyl, aryl or heteroaryl, or wherein  $R^{Q'}$  and  $R^{Q''}$ , taken together with the nitrogen atom to which they are attached, form a heterocyclic or heteroaryl moiety.

33. The compound of claim 1 having the structure:



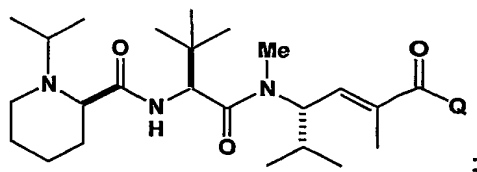
or a pharmaceutically acceptable salt thereof.

34. The compound of claim 1 having the structure:



or a pharmaceutically acceptable salt thereof.

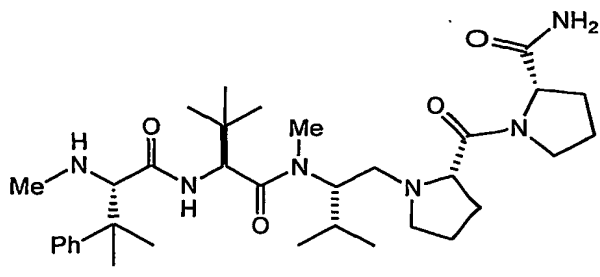
35. The compound of claim 1 having the structure:



wherein Q is OH or Et;

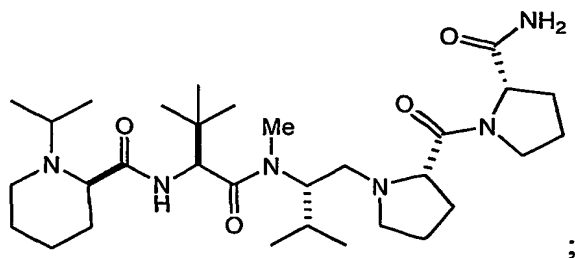
or a pharmaceutically acceptable salt thereof.

36. The compound of claim 1 having the structure:



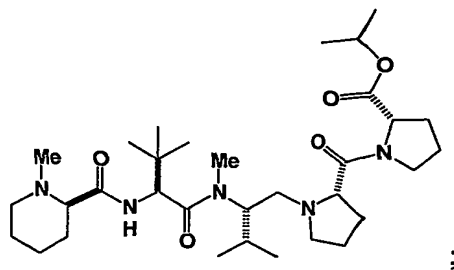
or a pharmaceutically acceptable salt thereof.

37. The compound of claim 1 having the structure:



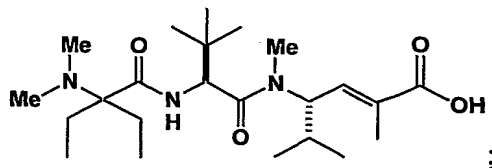
or a pharmaceutically acceptable salt thereof.

38. The compound of claim 1 having the structure:



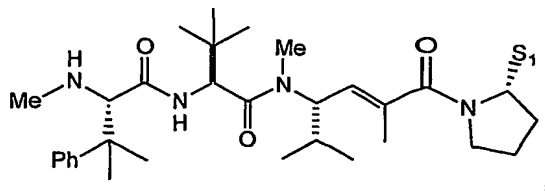
or a pharmaceutically acceptable salt thereof.

39. The compound of claim 1 having the structure:



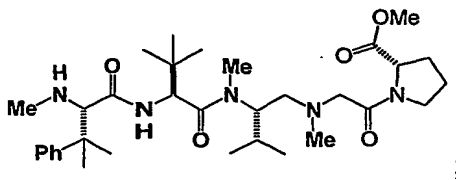
or a pharmaceutically acceptable salt thereof.

40. The compound of claim 1 having the structure:



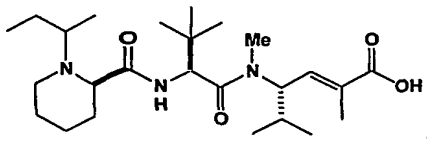
wherein S<sub>1</sub> is H, -CH<sub>2</sub>OMe, -C(=O)OMe or -C(=O)NH<sub>2</sub>;  
or a pharmaceutically acceptable salt thereof.

41. The compound of claim 1 having the structure:



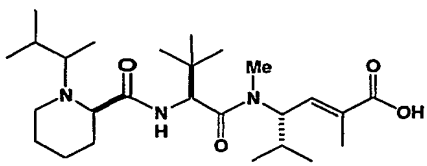
or a pharmaceutically acceptable salt thereof.

42. The compound of claim 1 having the structure:



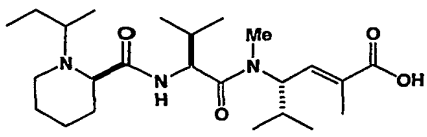
or a pharmaceutically acceptable salt thereof.

43. The compound of claim 1 having the structure:



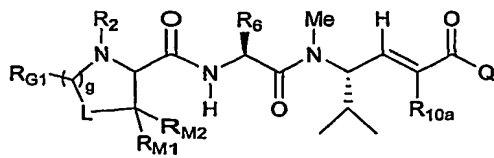
or a pharmaceutically acceptable salt thereof.

44. The compound of claim 1 having the structure:



or a pharmaceutically acceptable salt thereof.

45. An intermediate for the preparation of a compound having the structure:

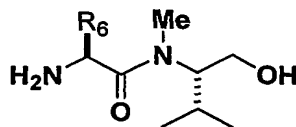


wherein  $g$  is 1, 2, 3 or 4;

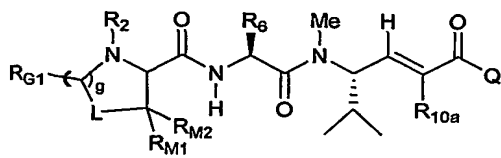
$L$  is  $CR_{L1}R_{L2}$ , S, O or  $NR_{L3}$ , wherein each occurrence of  $R_{L1}$ ,  $R_{L2}$  and  $R_{L3}$  is independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

each occurrence of  $R_{G1}$ ,  $R_{M1}$  and  $R_{M2}$  is each independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and wherein any two adjacent  $R_{L1}$ ,  $R_{L2}$ ,  $R_{L3}$ ,  $R_{G1}$ ,  $R_{M1}$  or  $R_{M2}$  groups, taken together, form a substituted or unsubstituted alicyclic or heteroalicyclic moiety containing 3-6 atoms or an aryl or heteroaryl moiety; and

wherein said intermediate has the following structure:



46. An intermediate for the preparation of a compound having the structure:



wherein  $g$  is 1, 2, 3 or 4;

$R_2$  is hydrogen, or a substituted or unsubstituted, linear or branched, cyclic or acyclic, or saturated or unsaturated lower alkyl, heteroalkyl, -alkyl(aryl) or acyl moiety;

$R_6$  is substituted or unsubstituted, linear or branched, cyclic or acyclic, or saturated or unsaturated lower alkyl;

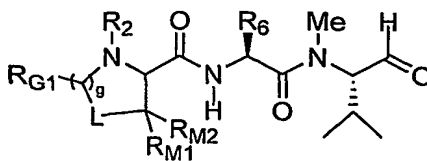
$R_{10a}$  is hydrogen or substituted or unsubstituted, linear or branched, cyclic or acyclic, or saturated or unsaturated lower alkyl;

$L$  is  $CR_{L1}R_{L2}$ ,  $S$ ,  $O$  or  $NR_{L3}$ , wherein each occurrence of  $R_{L1}$ ,  $R_{L2}$  and  $R_{L3}$  is independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety;

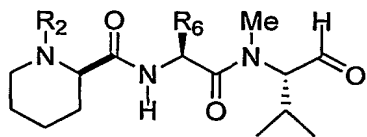
each occurrence of  $R_{G1}$ ,  $R_{M1}$  and  $R_{M2}$  is each independently hydrogen or an aliphatic, alicyclic, heteroaliphatic, heteroalicyclic, aryl or heteroaryl moiety; and

wherein any two adjacent  $R_{L1}$ ,  $R_{L2}$ ,  $R_{L3}$ ,  $R_{G1}$ ,  $R_{M1}$  or  $R_{M2}$  groups, taken together, form a substituted or unsubstituted alicyclic or heteroalicyclic moiety containing 3-6 atoms or an aryl or heteroaryl moiety; and

wherein said intermediate has the following structure:



47. The intermediate of claim 46 wherein R<sub>2</sub> is substituted or unsubstituted, linear or branched, cyclic or acyclic, saturated or unsaturated lower alkyl.
48. The intermediate of claim 46 wherein R<sub>2</sub> is methyl, ethyl, propyl, butyl, pentyl, *tert*-butyl, *i*-propyl, -CH(CH<sub>3</sub>)Et, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -C(CH<sub>3</sub>)<sub>2</sub>Et, -CH(CH<sub>3</sub>)cyclobutyl, -CH(Et)<sub>2</sub>, -C(CH<sub>3</sub>)<sub>2</sub>C≡CH, cyclohexyl, cyclopentyl, cyclobutyl or cyclopropyl.
49. The intermediate of claim 46 wherein R<sub>2</sub> is hydrogen, methyl or benzyl.
50. The intermediate of claim 46 wherein R<sub>2</sub> is hydrogen or methyl.
51. The intermediate of claim 46 wherein R<sub>6</sub> is methyl, ethyl, propyl, butyl, pentyl, *tert*-butyl, *i*-propyl, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, cyclohexyl, cyclopentyl, cyclobutyl or cyclopropyl.
52. The intermediate of claim 46 wherein R<sub>6</sub> is *tert*-butyl.
53. The intermediate of claim 46 having the structure:



54. The intermediate of claim 46 wherein R<sub>6</sub> is methyl, ethyl, propyl, butyl, pentyl, *tert*-butyl, *i*-propyl, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, cyclohexyl, cyclopentyl, cyclobutyl or cyclopropyl; and R<sub>2</sub> is methyl, ethyl, propyl, butyl, pentyl, *tert*-butyl, *i*-propyl, -CH(CH<sub>3</sub>)Et, -CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -



CH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -CH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -CH(CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -C(CH<sub>3</sub>)<sub>2</sub>Et, -CH(CH<sub>3</sub>)cyclobutyl, -CH(Et)<sub>2</sub>, -C(CH<sub>3</sub>)<sub>2</sub>C≡CH, cyclohexyl, cyclopentyl, cyclobutyl or cyclopropyl.

55. A pharmaceutical composition comprising a compound of claim 1, a pharmaceutically acceptable carrier or diluent, and optionally further comprising an additional therapeutic agent.
56. The pharmaceutical composition of claim 55 wherein the compound is present in an amount effective to inhibit cancer cell growth *in vitro*.
57. The pharmaceutical composition of claim 55 wherein the compound is present in an amount effective to cause tumor regression *in vivo*.
58. A method for treating cancer comprising:  
administering to a subject in need thereof a therapeutically effective amount of a compound of claim 1, and a pharmaceutically acceptable carrier or diluent, and optionally an additional therapeutic agent.
59. The method of claim 58, wherein the method is used to treat prostate, breast, colon, bladder, cervical, skin, testicular, kidney, ovarian, stomach, brain, liver, pancreatic or esophageal cancer or lymphoma, leukemia, or multiple myeloma.
60. The method of claim 59, wherein the cancer is a solid tumor.
61. The method of claim 59, wherein the cancer is a non-solid tumor.

**APPENDIX A**

**FDA Approved Oncology Drugs**

<u>Aldesleukin</u>	<u>Proleukin</u>		<u>Chiron Corp</u>	May 05 1992
<u>Alemtuzumab</u>	<u>Campath</u>	Accel. Approv. (clinical benefit not established) Campath is indicated for the treatment of B-cell chronic lymphocytic leukemia (B-CLL) in patients who have been treated with alkylating agents and who have failed fludarabine therapy.	<u>Millennium and ILEX Partners, LP</u>	May 07 2001
<u>allretinoin</u>	<u>Panretin</u>	Topical treatment of cutaneous lesions in patients with AIDS-related Kaposi's sarcoma.	<u>Ligand Pharmaceuticals</u>	Feb 02 1999
<u>allopurinol</u>	<u>Zyloprim</u>	Patients with leukemia, lymphoma and solid tumor malignancies who are receiving cancer therapy which causes elevations of serum and urinary uric acid levels and who cannot tolerate oral therapy.	<u>GlaxoSmithKline</u>	May 17 1996
<u>altretamine</u>	<u>Hexalen</u>	Single agent palliative treatment of patients with persistent or recurrent ovarian cancer following first-line therapy with a cisplatin and/or alkylating agent based combination.	<u>US Bioscience</u>	Dec 26 1990
<u>amifostine</u>	<u>Ethiol</u>	To reduce the cumulative renal toxicity associated with repeated administration of cisplatin in patients with advanced ovarian cancer	<u>US Bioscience</u>	Dec 08 1995
<u>amifostine</u>	<u>Ethiol</u>	Accel. Approv. (clinical benefit not established) Reduction of platinum toxicity in non-small cell lung cancer	<u>US Bioscience</u>	Mar 15 1996
<u>amifostine</u>	<u>Ethiol</u>	To reduce post-radiation xerostomia for head and neck cancer where the radiation port includes a substantial portion of the parotid glands.	<u>US Bioscience</u>	Jun 24 1999
<u>anastrozole</u>	<u>Arimidex</u>	Accel. Approv. (clinical benefit not established) for the adjuvant treatment of postmenopausal women with hormone receptor positive early breast cancer	<u>AstraZeneca</u>	Sep 05 2002
<u>anastrozole</u>	<u>Arimidex</u>	Treatment of advanced breast cancer in postmenopausal women with disease progression following tamoxifen therapy.	<u>AstraZeneca Pharmaceuticals</u>	Dec 27 1996
<u>anastrozole</u>	<u>Arimidex</u>	For first-line treatment of postmenopausal women with hormone receptor positive or hormone receptor unknown locally advanced or metastatic breast cancer.	<u>AstraZeneca Pharmaceuticals</u>	Sep 01 2000
<u>arsenic trioxide</u>	<u>Trisenox</u>	Second line treatment of relapsed or refractory APL following ATRA plus an anthracycline.	<u>Cell Therapeutic</u>	Sep 25 2000
<u>Asparaginase</u>	<u>Elspar</u>	ELSPAR is indicated in the therapy of patients with acute lymphocytic leukemia. This agent is useful primarily in combination with other chemotherapeutic agents in the induction of remissions of the disease in pediatric patients.	<u>Merck &amp; Co, Inc</u>	Aug 01 2002
<u>BCG Live</u>	<u>TICE BCG</u>		<u>Organon Teknika Corp</u>	Aug 21 1998
<u>bexarotene capsules</u>	<u>Targretin</u>	For the treatment by oral capsule of cutaneous manifestations of cutaneous T-cell lymphoma in patients who are refractory to at least one prior systemic therapy.	<u>Ligand Pharmaceuticals</u>	Dec 29 1999
<u>bexarotene gel</u>	<u>Targretin</u>	For the topical treatment of cutaneous manifestations of cutaneous T-cell lymphoma in patients who are refractory to at least one prior systemic therapy.	<u>Ligand Pharmaceuticals</u>	Jun 28 2000
<u>bleomycin</u>	<u>Blenoxane</u>		<u>Bristol-Myers Squibb</u>	Jul 31 1973
<u>bleomycin</u>	<u>Blenoxane</u>	Sclerosing agent for the treatment of malignant pleural effusion (MPE) and prevention of recurrent pleural effusions.	<u>Bristol-Myers Squibb</u>	Feb 20 1996
<u>busulfan intravenous</u>	<u>Busulfex</u>	Use in combination with cyclophosphamide as conditioning regimen prior to allogeneic hematopoietic progenitor cell transplantation for chronic myelogenous leukemia.	<u>Orphan Medical, Inc</u>	Feb 04 1999
<u>busulfan oral</u>	<u>Myleran</u>	Chronic Myelogenous Leukemia- palliative therapy	<u>GlaxoSmithKline</u>	Jun 28 1954
<u>calusterone</u>	<u>Methosarb</u>		<u>Pharmacia &amp; Upjohn Company</u>	Feb 20 1973
<u>capecitabine</u>	<u>Xeloda</u>	Accel. Approv. (clinical benefit subsequently established) Treatment of metastatic breast cancer resistant to both paclitaxel and an anthracycline containing chemotherapy regimen or resistant to paclitaxel and for whom further anthracycline therapy may be contraindicated, e.g., patients who have received cumulative doses of 400 mg/m <sup>2</sup> of doxorubicin or doxorubicin equivalents	<u>Roche</u>	Apr 30 1998
<u>capecitabine</u>	<u>Xeloda</u>	Initial therapy of patients with metastatic colorectal carcinoma when treatment with fluoropyrimidine therapy alone is preferred. Combination chemotherapy has shown a survival benefit compared to 5-FU/LV alone. A survival benefit over 5-FU/LV has not been demonstrated with Xeloda monotherapy.	<u>Roche</u>	Apr 30 2001
<u>capecitabine</u>	<u>Xeloda</u>	Treatment in combination with docetaxel of patients with metastatic breast cancer after failure of prior anthracycline containing chemotherapy	<u>Roche</u>	Sep 07 2001
<u>carboplatin</u>	<u>Pareplatin</u>	Palliative treatment of patients with ovarian carcinoma recurrent after prior chemotherapy, including patients who have been previously treated with cisplatin.	<u>Bristol-Myers Squibb</u>	Mar 03

				1989
<u>carboplatin</u>	<u>Paraplatin</u>	Initial chemotherapy of advanced ovarian carcinoma in combination with other approved chemotherapeutic agents.	<u>Bristol-Myers Squibb</u>	Jul 05 1991
<u>carmustine</u>	<u>BCNU, BICNU</u>		<u>Bristol-Myers Squibb</u>	Mar 07 1977
<u>carmustine with Polifeprosan 20 Implant</u>	<u>Gliadel Wafer</u>	For use in addition to surgery to prolong survival in patients with recurrent glioblastoma multiforme who qualify for surgery.	<u>Guilford Pharmaceuticals Inc.</u>	Sep 23 1996
<u>celecoxib</u>	<u>Celebrex</u>	Accel. Approv. (clinical benefit not established) Reduction of polyp number in patients with the rare genetic disorder of familial adenomatous polyposis.	<u>Searle</u>	Dec 23 1999
<u>chlorambucil</u>	<u>Leukeran</u>	Chronic Lymphocytic Leukemia- palliative therapy	<u>GlaxoSmithKline</u>	
<u>chlorambucil</u>	<u>Leukeran</u>		<u>GlaxoSmithKline</u>	Mar 18 1957
<u>cisplatin</u>	<u>Platinol</u>	Metastatic testicular-In established combination therapy with other approved chemotherapeutic agents in patients with metastatic testicular tumors who have already received appropriate surgical and/or radiotherapeutic procedures. An established combination therapy consists of Platinol, Blenoxane and Velbam.	<u>Bristol-Myers Squibb</u>	Dec 19 1978
<u>cisplatin</u>	<u>Platinol</u>	Metastatic ovarian tumors - In established combination therapy with other approved chemotherapeutic agents: Ovarian-In established combination therapy with other approved chemotherapeutic agents in patients with metastatic ovarian tumors who have already received appropriate surgical and/or radiotherapeutic procedures. An established combination consists of Platinol and Adriamycin. Platinol, as a single agent, is indicated as secondary therapy in patients with metastatic ovarian tumors refractory to standard chemotherapy who have not previously received Platinol therapy.	<u>Bristol-Myers Squibb</u>	Dec 19 1978
<u>cisplatin</u>	<u>Platinol</u>	as a single agent for patients with transitional cell bladder cancer which is no longer amenable to local treatments such as surgery and/or radiotherapy.	<u>Bristol-Myers Squibb</u>	Apr 22 1993
<u>cladribine</u>	<u>Leustatin, 2-CdA</u>	Treatment of active hairy cell leukemia.	<u>R.W. Johnson Pharmaceutical Research Institute</u>	Feb 26 1993
<u>cyclophosphamide</u>	<u>Cytoxan, Neosar</u>		<u>Bristol-Myers Squibb</u>	Nov 16 1959
<u>cyclophosphamide</u>	<u>Cytoxan Injection</u>		<u>Bristol-Myers Squibb</u>	Nov 16 1959
<u>cyclophosphamide</u>	<u>Cytoxan Injection</u>		<u>Bristol-Myers Squibb</u>	Apr 29 1987
<u>cyclophosphamide</u>	<u>Cytoxan Tablet</u>		<u>Bristol-Myers Squibb</u>	Apr 29 1987
<u>cytarabine</u>	<u>Cytosar-U</u>		<u>Pharmacia &amp; Upjohn Company</u>	Jun 17 1969
<u>cytarabine liposomal</u>	<u>DepoCyt</u>	Accel. Approv. (clinical benefit not established) Intrathecal therapy of lymphomatous meningitis	<u>Skye Pharmaceuticals</u>	Apr 01 1999
<u>dacarbazine</u>	<u>DTIC-Dome</u>		<u>Bayer</u>	May 27 1975
<u>dactinomycin, actinomycin D</u>	<u>Cosmegen</u>		<u>Merck</u>	Feb 04 1964
<u>dactinomycin, actinomycin D</u>	<u>Cosmegen</u>		<u>Merck</u>	Dec 10 1964
<u>Darbepoetin alfa</u>	<u>Aranesp</u>	Treatment of anemia associated with chronic renal failure.	<u>Amgen, Inc</u>	Sep 17 2001
<u>Darbepoetin alfa</u>	<u>Aranesp</u>	Aranesp is indicated for the treatment of anemia in patients with non-myeloid malignancies where anemia is due to the effect of concomitantly administered chemotherapy.	<u>Amgen, Inc</u>	Jul 19 2002
<u>daunorubicin liposomal</u>	<u>DanuoXome</u>	First line cytotoxic therapy for advanced, HIV related Kaposi's sarcoma.	<u>Nexstar, Inc.</u>	Apr 08 1996
<u>daunorubicin, daunomycin</u>	<u>Daunorubicin</u>	Leukemia/myelogenous/monocytic/erythroid of adults/remission induction in acute lymphocytic leukemia of children and adults.	<u>Bedford Labs</u>	Jan 30 1998
<u>daunorubicin, daunomycin</u>	<u>Cerubidine</u>	In combination with approved anticancer drugs for induction of remission in adult ALL.	<u>Wyeth Ayerst</u>	Mar 11 1987

<u>Denileukin difitox</u>	<u>Ontak</u>	Accel. Approv. (clinical benefit not established) treatment of patients with persistent or recurrent cutaneous T-cell lymphoma whose malignant cells express the CD25 component of the IL-2 receptor	<u>Seragen, Inc</u>	Feb 05 1999
<u>dexrazoxane</u>	<u>Zinecard</u>	Accel. Approv. (clinical benefit subsequently established) Prevention of cardiomyopathy associated with doxorubicin administration	<u>Pharmacia &amp; Upjohn Company</u>	May 26 1995
<u>dexrazoxane</u>	<u>Zinecard</u>	reducing the incidence and severity of cardiomyopathy associated with doxorubicin administration in women with metastatic breast cancer who have received a cumulative doxorubicin dose of 300 mg/m <sup>2</sup> and who will continue to receive doxorubicin therapy to maintain tumor control. It is not recommended for use with the initiation of doxorubicin therapy.	<u>Pharmacia &amp; Upjohn Company</u>	Oct 31 2002
<u>docetaxel</u>	<u>Taxotere</u>	Accel. Approv. (clinical benefit subsequently established) Treatment of patients with locally advanced or metastatic breast cancer who have progressed during anthracycline-based therapy or have relapsed during anthracycline-based adjuvant therapy.	<u>Aventis Pharmaceutical</u>	May 14 1996
<u>docetaxel</u>	<u>Taxotere</u>	For the treatment of locally advanced or metastatic breast cancer which has progressed during anthracycline-based treatment or relapsed during anthracycline-based adjuvant therapy.	<u>Aventis Pharmaceutical</u>	Jun 22 1998
<u>docetaxel</u>	<u>Taxotere</u>	For locally advanced or metastatic non-small cell lung cancer after failure of prior platinum-based chemotherapy.	<u>Aventis Pharmaceutical</u>	Dec 23 1999
<u>docetaxel</u>	<u>Taxotere</u>		<u>Aventis Pharmaceutical</u>	Nov 27 2002
<u>docetaxel</u>	<u>Taxotere</u>	in combination with cisplatin for the treatment of patients with unresectable, locally advanced or metastatic non-small cell lung cancer who have not previously received chemotherapy for this condition.	<u>Aventis Pharmaceutical</u>	Nov 27 2002
<u>doxorubicin</u>	<u>Adriamycin Rubex</u>		<u>Pharmacia &amp; Upjohn Company</u>	Aug 07 1974
<u>doxorubicin</u>	<u>Adriamycin PFS Injection/intravenous injection</u>	Antibiotic, antitumor agent.	<u>Pharmacia &amp; Upjohn Company</u>	Dec 23 1987
<u>doxorubicin liposomal</u>	<u>Doxil</u>	Accel. Approv. (clinical benefit not established) Treatment of AIDS-related Kaposi's sarcoma in patients with disease that has progressed on prior combination chemotherapy or in patients who are intolerant to such therapy.	<u>Sequus Pharmaceuticals, Inc.</u>	Nov 17 1995
<u>doxorubicin liposomal</u>	<u>Doxil</u>	Accel. Approv. (clinical benefit not established) Treatment of metastatic carcinoma of the ovary in patient with disease that is refractory to both paclitaxel and platinum based regimens	<u>Sequus Pharmaceuticals, Inc.</u>	Jun 28 1999
<u>DROMOSTANOLONE PROPIONATE</u>	<u>DROMOSTANOLONE</u>		<u>Eli Lilly</u>	Oct 28 1961
<u>DROMOSTANOLONE PROPIONATE</u>	<u>MASTERONE INJECTION</u>		<u>SYNTEX</u>	Oct 08 1964
<u>Elliott's B Solution</u>	<u>Elliott's B Solution</u>	Diluent for the intrathecal administration of methotrexate sodium and cytarabine for the prevention or treatment of meningeal leukemia or lymphocytic lymphoma.	<u>Orphan Medical, Inc</u>	Sep 27 1996
<u>epirubicin</u>	<u>Ellence</u>	A component of adjuvant therapy in patients with evidence of axillary node tumor involvement following resection of primary breast cancer.	<u>Pharmacia &amp; Upjohn Company</u>	Sep 15 1999
<u>Epoetin alfa</u>	<u>epogen</u>	EPOGENB is indicated for the treatment of anemia related to therapy with zidovudine in HIV- infected patients. EPOGENB is indicated to elevate or maintain the red blood cell level (as manifested by the hematocrit or hemoglobin determinations) and to decrease the need for transfusions in these patients. EPOGEND is not indicated for the treatment of anemia in HIV-infected patients due to other factors such as iron or folate deficiencies, hemolysis or gastrointestinal bleeding, which should be managed appropriately.	<u>Amgen, Inc</u>	Jul 26 1999
<u>Epoetin alfa</u>	<u>epogen</u>	EPOGENB is indicated for the treatment of anemic patients (hemoglobin > 10 to < 13 g/dL) scheduled to undergo elective, noncardiac, nonvascular surgery to reduce the need for allogeneic blood transfusions.	<u>Amgen, Inc</u>	Jul 26 1999
<u>Epoetin alfa</u>	<u>epogen</u>	EPOGENB is indicated for the treatment of anemia in patients with non-myeloid malignancies where anemia is due to the effect of concomitantly administered chemotherapy. EPOGEND is indicated to decrease the need for transfusions in patients who will be receiving concomitant chemotherapy for a minimum of 2 months. EPOGENB is not indicated for the treatment of anemia in cancer patients due to other factors such as iron or folate deficiencies, hemolysis or gastrointestinal bleeding, which should be managed appropriately.	<u>Amgen, Inc</u>	Jul 26 1999
<u>Epoetin alfa</u>	<u>epogen</u>	EPOGEN is indicated for the treatment of anemia associated with CRF, including patients on dialysis (ESRD) and patients not on dialysis.	<u>Amgen, Inc</u>	Jul 26 1999
<u>estramustine</u>	<u>Emcyt</u>	palliation of prostate cancer	<u>Pharmacia &amp; Upjohn Company</u>	Dec 24 1981
<u>etoposide phosphate</u>	<u>Etopophos</u>	Management of refractory testicular tumors. In combination with other approved chemotherapeutic agents.	<u>Bristol-Myers Squibb</u>	May 17 1996
		Management of small cell lung cancer, first-line, in combination with other approved		May

etoposide phosphate	Etopophos	chemotherapeutic agents.	Bristol-Myers Squibb	17 1996
etoposide phosphate	Etopophos	Management of refractory testicular tumors and small cell lung cancer.	Bristol-Myers Squibb	Feb 27 1998
etoposide, VP-16	Vepesid	Refractory testicular tumors-in combination therapy with other approved chemotherapeutic agents in patients with refractory testicular tumors who have already received appropriate surgical, chemotherapeutic and radiotherapeutic therapy.	Bristol-Myers Squibb	Nov 10 1993
etoposide, VP-16	VePesid	In combination with other approved chemotherapeutic agents as first line treatment in patients with small cell lung cancer.	Bristol-Myers Squibb	Dec 30 1986
etoposide, VP-16	Vepesid	In combination with other approved chemotherapeutic agents as first line treatment in patients with small cell lung cancer.	Bristol-Myers Squibb	Dec 30 1986
exemestane	Aromasin	Treatment of advance breast cancer in postmenopausal women whose disease has progressed following tamoxifen therapy.	Pharmacia & Upjohn Company	Oct 21 1999
Filgrastim	Neupogen		Amgen, Inc	Feb 20 1991
Filgrastim	Neupogen	NEUPOGEN is indicated to reduce the duration of neutropenia and neutropenia-related clinical sequelae, eg, febrile neutropenia, in patients with nonmyeloid malignancies undergoing myeloablative chemotherapy followed by marrow transplantation.	Amgen, Inc	Apr 02 1998
Filgrastim	Neupogen	NEUPOGEN is indicated to decrease the incidence of infection, as manifested by febrile neutropenia, in patients with nonmyeloid malignancies receiving myelosuppressive anticancer drugs associated with a significant incidence of severe neutropenia with fever.	Amgen, Inc	Apr 02 1998
Filgrastim	Neupogen	NEUPOGEN is indicated for reducing the time to neutrophil recovery and the duration of fever, following induction or consolidation chemotherapy treatment of adults with AML.	Amgen, Inc	Apr 02 1998
floxuridine (intraarterial)	FUDR		Roche	Dec 18 1970
fludarabine	Fludara	Palliative treatment of patients with B-cell lymphocytic leukemia (CLL) who have not responded or have progressed during treatment with at least one standard alkylating agent containing regimen.	Berlex Laboratories Inc.	Apr 18 1991
fluorouracil, 5-FU	Adrucil	prolong survival in combination with leucovorin	ICN Puerto Rico	Apr 25 1962
fulvestrant	Faslodex	the treatment of hormone receptor-positive metastatic breast cancer in postmenopausal women with disease progression following antiestrogen therapy	IPR	Apr 25 2002
gemcitabine	Gemzar	Treatment of patients with locally advanced (nonresectable stage II or III) or metastatic (stage IV) adenocarcinoma of the pancreas. Indicated for first-line treatment and for patients previously treated with a 5-fluorouracil-containing regimen.	Eli Lilly	May 15 1996
gemcitabine	Gemzar	For use in combination with cisplatin for the first-line treatment of patients with inoperable, locally advanced (Stage IIIA or IIIB) or metastatic (Stage IV) non-small cell lung cancer.	Eli Lilly	Aug 25 1998
gemtuzumab ozogamicin	Mylotarg	Accel. Approv. (clinical benefit not established) Treatment of CD33 positive acute myeloid leukemia in patients in first relapse who are 60 years of age or older and who are not considered candidates for cytotoxic chemotherapy.	Wyeth Ayerst	May 17 2000
goserelin acetate	Zoladex Implant	Palliative treatment of advanced breast cancer in pre- and perimenopausal women.	AstraZeneca Pharmaceuticals	Dec 18 1995
goserelin acetate	Zoladex		AstraZeneca Pharmaceuticals	Dec 18 1995
hydroxyurea	Hydrea		Bristol-Myers Squibb	Dec 07 1967
hydroxyurea	Hydrea	Decrease need for transfusions in sickle cell anemia	Bristol-Myers Squibb	Feb 25 1998
ibritumomab Tiuxetan	Zevalin	Accel. Approv. (clinical benefit not established) treatment of patients with relapsed or refractory low-grade, follicular, or transformed B-cell non-Hodgkin's lymphoma, including patients with Rituximab refractory follicular non-Hodgkin's lymphoma.	IDEC Pharmaceuticals Corp	Feb 19 2002
idarubicin	Idamycin	For use in combination with other approved antileukemic drugs for the treatment of acute myeloid leukemia (AML) in adults.	Adria Laboratories	Sep 27 1990
idarubicin	Idamycin	In combination with other approved antileukemic drugs for the treatment of acute non-lymphocytic leukemia in adults.	Pharmacia & Upjohn Company	Feb 17 1997
ifosfamide	IFEX	Third line chemotherapy of germ cell testicular cancer when used in combination with certain other approved antineoplastic agents.	Bristol-Myers Squibb	Dec 30 1988

<u>imatinib mesylate</u>	<u>Gleevec</u>	Accel. Approv. (clinical benefit not established) Initial therapy of chronic myelogenous leukemia	<u>Novartis</u>	May 10 2001
<u>imatinib mesylate</u>	<u>Gleevec</u>	Accel. Approv. (clinical benefit not established) metastatic or unresectable malignant gastrointestinal stromal tumors	<u>Novartis</u>	Feb 01 2002
<u>imatinib mesylate</u>	<u>Gleevec</u>	Accel. Approv. (clinical benefit not established) Initial treatment of newly diagnosed Ph+ chronic myelogenous leukemia (CML).	<u>Novartis</u>	Dec 20 2002
<u>Interferon alfa-2a</u>	<u>Roferon-A</u>		<u>Hoffmann-La Roche Inc</u>	Nov 01 1996
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated as adjuvant to surgical treatment in patients 18 years of age or older with malignant melanoma who are free of disease but at high risk for systemic recurrence within 56 days of surgery.	<u>Schering Corp</u>	Nov 08 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated for the initial treatment of clinically aggressive follicular Non-Hodgkin's Lymphoma in conjunction with anthracycline-containing combination chemotherapy in patients 18 years of age or older.	<u>Schering Corp</u>	Nov 06 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated for intralesional treatment of selected patients 18 years of age or older with condylomata acuminata involving external surfaces of the genital and perianal areas.	<u>Schering Corp</u>	Nov 06 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated for the treatment of chronic hepatitis C in patients 18 years of age or older with compensated liver disease who have a history of blood or blood-product exposure and/or are HCV antibody positive.	<u>Schering Corp</u>	Nov 06 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated for the treatment of chronic hepatitis B in patients 18 years of age or older with compensated liver disease and HBV replication.	<u>Schering Corp</u>	Nov 06 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated for the treatment of patients 18 years of age or older with hairy cell leukemia.	<u>Schering Corp</u>	Nov 06 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>	Interferon alfa-2b, recombinant for injection is indicated for the treatment of selected patients 18 years of age or older with AIDS-Related Kaposi's Sarcoma. The likelihood of response to INTRON A therapy is greater in patients who are without systemic symptoms, who have limited lymphadenopathy and who have a relatively intact immune system as indicated by total CD4 count.	<u>Schering Corp</u>	Nov 06 1997
<u>Interferon alfa-2b</u>	<u>Intron A</u>		<u>Schering Corp</u>	Jun 21 2002
<u>Interferon alfa-2b</u>	<u>Intron A</u>		<u>Schering Corp</u>	Jun 21 2002
<u>Interferon alfa-2b</u>	<u>Intron A Intron A</u>		<u>Schering Corp</u>	Jun 21 2002
<u>irinotecan</u>	<u>Camptosar</u>	Accel. Approv. (clinical benefit subsequently established) Treatment of patients with metastatic carcinoma of the colon or rectum whose disease has recurred or progressed following 5-FU-based therapy.	<u>Pharmacia &amp; Upjohn Company</u>	Jun 14 1996
<u>irinotecan</u>	<u>Camptosar</u>	Follow up of treatment of metastatic carcinoma of the colon or rectum whose disease has recurred or progressed following 5-FU-based therapy.	<u>Pharmacia &amp; Upjohn Company</u>	Oct 22 1998
<u>irinotecan</u>	<u>Camptosar</u>	For first line treatment in combination with 5-FU/leucovorin of metastatic carcinoma of the colon or rectum.	<u>Pharmacia &amp; Upjohn Company</u>	Apr 20 2000
<u>letrozole</u>	<u>Femara</u>	Treatment of advanced breast cancer in postmenopausal women.	<u>Novartis</u>	Jul 25 1997
<u>letrozole</u>	<u>Femara</u>	First-line treatment of postmenopausal women with hormone receptor positive or hormone receptor unknown locally advanced or metastatic breast cancer.	<u>Novartis</u>	Jan 10 2001
<u>letrozole</u>	<u>Femara</u>		<u>Novartis</u>	Jan 17 2003
<u>leucovorin</u>	<u>Wellcovorin, Leucovorin</u>	Leucovorin calcium is indicated for use in combination with 5-fluorouracil to prolong survival in the palliative treatment of patients with advanced colorectal cancer.	<u>Immunex Corporation</u>	Jun 20 1992
<u>leucovorin</u>	<u>Leucovorin</u>		<u>Immunex Corporation</u>	Jan 30 1987
<u>leucovorin</u>	<u>Leucovorin</u>		<u>Immunex Corporation</u>	Jan 30 1987
<u>leucovorin</u>	<u>Leucovorin</u>		<u>Immunex Corporation</u>	Aug 31 1988
<u>leucovorin</u>	<u>Leucovorin</u>	In combination with fluorouracil to prolong survival in the palliative treatment of patients with advanced colorectal cancer.	<u>Lederle Laboratories</u>	Dec 12

				1991
<u>levamisole</u>	<u>Ergamisol</u>	Adjuvant treatment in combination with 5-fluorouracil after surgical resection in patients with Dukes' Stage C colon cancer.	Janssen Research Foundation	Jun 18 1990
<u>lomustine, CCNU</u>	<u>CeeBU</u>		Bristol-Myers Squibb	Aug 04 1976
<u>mecllorethamine, nitrogen mustard</u>	<u>Mustargen</u>		Merck	Mar 15 1949
<u>megestrol acetate</u>	<u>Megace</u>		Bristol-Myers Squibb	Aug 18 1971
<u>melphalan, L-PAM</u>	<u>Alkeran</u>		GlaxoSmithKline	Jan 17 1964
<u>melphalan, L-PAM</u>	<u>Alkeran</u>	Systemic administration for palliative treatment of patients with multiple myeloma for whom oral therapy is not appropriate.	GlaxoSmithKline	Nov 18 1992
<u>mercaptopurine, 6-MP</u>	<u>Purdinethol</u>		GlaxoSmithKline	Sep 11 1953
<u>mesna</u>	<u>Mesnex</u>	Prevention of Ifosfamide-induced hemorrhagic cystitis	Asta Medica	Dec 30 1988
<u>methotrexate</u>	<u>Methotrexate</u>		Lederle Laboratories	Dec 07 1953
<u>methotrexate</u>	<u>Methotrexate</u>		Lederle Laboratories	Aug 10 1959
<u>methotrexate</u>	<u>Methotrexate</u>		Lederle Laboratories	Nov 01 1971
<u>methotrexate</u>	<u>Methotrexate</u>		Lederle Laboratories	Nov 01 1971
<u>methotrexate</u>	<u>Methotrexate</u>	osteosarcoma	Lederle Laboratories	Apr 07 1988
<u>methotrexate</u>	<u>Methotrexate</u>		Lederle Laboratories	Oct 31 1988
<u>methoxsalen</u>	<u>Uvadex</u>	For the use of UVADEX with the UVAR Photopheresis System in the palliative treatment of the skin manifestations of cutaneous T-cell lymphoma (CTCL) that is unresponsive to other forms of treatment.	Therakos	Feb 25 1999
<u>mitomycin C</u>	<u>Mitomycin</u>		Bristol-Myers Squibb	May 28 1974
<u>mitomycin C</u>	<u>Mitozytrex</u>	therapy of disseminated adenocarcinoma of the stomach or pancreas in proven combinations with other approved chemotherapeutic agents and as palliative treatment when other modalities have failed.	Supergen	Nov 14 2002
<u>mitotane</u>	<u>Lysodren</u>		Bristol-Myers Squibb	Jul 08 1970
<u>mitoxantrone</u>	<u>Novantrone</u>	For use in combination with corticosteroids as initial chemotherapy for the treatment of patients with pain related to advanced hormone-refractory prostate cancer.	Immunex Corporation	Nov 13 1996
<u>mitoxantrone</u>	<u>Novantrone</u>	For use with other approved drugs in the initial therapy for acute nonlymphocytic leukemia (ANLL) in adults.	Lederle Laboratories	Dec 23 1987
<u>nandrolone phenpropionate</u>	<u>Durabolin-50</u>		Organon	Oct 30 1959
<u>Nofetumomab</u>	<u>Verluma</u>		Boehringer Ingelheim Pharma KG (formerly Dr. Karl Thomae GmbH)	Aug 20 1996
<u>Oprelvekin</u>	<u>Neumega</u>		Genetics Institute, Inc	Nov 25 1997
<u>Oprelvekin</u>	<u>Neumega</u>		Genetics Institute, Inc	Sep 18 2002
<u>Oprelvekin</u>	<u>Neumega</u>	Neumega is indicated for the prevention of severe thrombocytopenia and the reduction of the need for platelet transfusions following myelosuppressive chemotherapy in adult patients with nonmyeloid malignancies who are at high risk of	Genetics Institute, Inc	Sep 18 2002



		severe thrombocytopenia.		
oxaliplatin	Eloxatin	Accel. Approv. (clinical benefit not established) In combination with infusional 5-FU/LV, is indicated for the treatment of patients with metastatic carcinoma of the colon or rectum whose disease has recurred or progressed during or within 6 months of completion of first line therapy with the combination of bolus 5-FU/LV and irinotecan.	Sanofi Synthelabo	Aug 09 2002
paclitaxel	Paxene	treatment of advanced AIDS-related Kaposi's sarcoma after failure of first line or subsequent systemic chemotherapy	Baker Norton Pharmaceuticals, Inc	Dec 24 1997
paclitaxel	Taxol	Treatment of patients with metastatic carcinoma of the ovary after failure of first-line or subsequent chemotherapy.	Bristol-Myers Squibb	Dec 29 1992
paclitaxel	Taxol	Treatment of breast cancer after failure of combination chemotherapy for metastatic disease or relapse within 6 months of adjuvant chemotherapy. Prior therapy should have included an anthracycline unless clinically contraindicated.	Bristol-Myers Squibb	Apr 13 1994
paclitaxel	Taxol	New dosing regimen for patients who have failed initial or subsequent chemotherapy for metastatic carcinoma of the ovary	Bristol-Myers Squibb	Jun 22 1994
paclitaxel	Taxol	second line therapy for AIDS related Kaposi's sarcoma.	Bristol-Myers Squibb	Aug 04 1997
paclitaxel	Taxol	For first-line therapy for the treatment of advanced carcinoma of the ovary in combination with cisplatin.	Bristol-Myers Squibb	Apr 09 1998
paclitaxel	Taxol	for use in combination with cisplatin, for the first-line treatment of non-small cell lung cancer in patients who are not candidates for potentially curative surgery and/or radiation therapy.	Bristol-Myers Squibb	Jun 30 1998
paclitaxel	Taxol	For the adjuvant treatment of node-positive breast cancer administered sequentially to standard doxorubicin-containing combination therapy.	Bristol-Myers Squibb	Oct 25 1999
paclitaxel	Taxol	First line ovarian cancer with 3 hour infusion.	Bristol-Myers Squibb	Jun 20 2000
pamidronate	Aredia	Treatment of osteolytic bone metastases of breast cancer in conjunction with standard antineoplastic therapy.	Novartis	Sep 22 1998
pegademase	Adagen (Pegademase Bovine)	Enzyme replacement therapy for patients with severe combined immunodeficiency as a result of adenosine deaminase deficiency.	Enzon	Mar 21 1990
Pegaspargase	Oncaspar		Enzon, Inc	Feb 01 1994
Pegfilgrastim	Neulasta	Neulasta is indicated to decrease the incidence of infection, as manifested by febrile neutropenia, in patients with non-myeloid malignancies receiving myelosuppressive anti-cancer drugs associated with a clinically significant incidence of febrile neutropenia.	Amgen, Inc	Jan 31 2002
pentostatin	Nipent	Single agent treatment for adult patients with alpha interferon refractory hairy cell leukemia.	Parke-Davis Pharmaceutical Co.	Oct 11 1991
pentostatin	Nipent	Single-agent treatment for untreated hairy cell leukemia patients with active disease as defined by clinically significant anemia, neutropenia, thrombocytopenia, or disease-related symptoms. (Supplement for front-line therapy.)	Parke-Davis Pharmaceutical Co.	Sep 29 1993
pipobroman	Vercyte		Abbott Labs	Jul 01 1968
pllicamycin, miltiramycin	Mithracin		Pfizer Labs	May 05 1970
porfimer sodium	Photofrin	For use in photodynamic therapy (PDT) for palliation of patients with completely obstructing esophageal cancer, or patients with partially obstructing esophageal cancer who cannot be satisfactorily treated with ND-YAG laser therapy.	QLT Phototherapeutics Inc.	Dec 27 1995
porfimer sodium	Photofrin	For use in photodynamic therapy for treatment of microinvasive endobronchial nonsmall cell lung cancer in patients for whom surgery and radiotherapy are not indicated.	QLT Phototherapeutics Inc.	Jan 09 1998
porfimer sodium	Photofrin	For use in photodynamic therapy (PDT) for reduction of obstruction and palliation of symptoms in patients with completely or partially obstructing endobronchial nonsmall cell lung cancer (NSCLC).	QLT Phototherapeutics Inc.	Dec 22 1998
procarbazine	Matulane		Sigma Tau Pharma	Jul 22 1969
quinacrine	Atabrine		Abbott Labs	Dec 07 1964
Rasburicase	Elitek	ELITEK is indicated for the initial management of plasma uric acid levels in pediatric patients with leukemia, lymphoma, and solid tumor malignancies who are receiving anti-cancer therapy expected to result in tumor lysis and subsequent elevation of plasma uric acid.	Sanofi-Synthelabo, Inc	Jul 12 2002

<u>Rituximab</u>	<u>Rituxan</u>		<u>Genentech, Inc</u>	Nov 26 1997
<u>Sargramostim</u>	<u>Prokine</u>		<u>Immunex Corp</u>	Nov 07 1996
<u>streptozocin</u>	<u>Zanosar</u>	Antineoplastic agent.	<u>Pharmacia &amp; Upjohn Company</u>	May 07 1982
<u>talc</u>	<u>Sclerosol</u>	For the prevention of the recurrence of malignant pleural effusion in symptomatic patients.	<u>Bryan</u>	Dec 24 1997
<u>tamoxifen</u>	<u>Nolvadex</u>		<u>AstraZeneca Pharmaceuticals</u>	Dec 30 1977
<u>tamoxifen</u>	<u>Nolvadex</u>	As a single agent to delay breast cancer recurrence following total mastectomy and axillary dissection in postmenopausal women with breast cancer (T1-3, N1, M0)	<u>AstraZeneca Pharmaceuticals</u>	Dec 03 1986
<u>tamoxifen</u>	<u>Nolvadex</u>	For use in premenopausal women with metastatic breast cancer as an alternative to oophorectomy or ovarian irradiation	<u>AstraZeneca Pharmaceuticals</u>	Mar 16 1989
<u>tamoxifen</u>	<u>Nolvadex</u>	For use in women with axillary node-negative breast cancer adjuvant therapy.	<u>AstraZeneca Pharmaceuticals</u>	Jun 21 1990
<u>tamoxifen</u>	<u>Nolvadex</u>	Metastatic breast cancer in men.	<u>AstraZeneca Pharmaceuticals</u>	Apr 01 1993
<u>tamoxifen</u>	<u>Nolvadex</u>	Equal bioavailability of a 20 mg Nolvadex tablet taken once a day to a 10 mg Nolvadex tablet taken twice a day.	<u>AstraZeneca Pharmaceuticals</u>	Mar 21 1994
<u>tamoxifen</u>	<u>Nolvadex</u>	to reduce the incidence of breast cancer in women at high risk for breast cancer	<u>AstraZeneca Pharmaceuticals</u>	Oct 29 1998
<u>tamoxifen</u>	<u>Nolvadex</u>	In women with DCIS, following breast surgery and radiation, Nolvadex is indicated to reduce the risk of invasive breast cancer.	<u>AstraZeneca Pharmaceuticals</u>	Jun 29 2000
<u>temozolomide</u>	<u>Temodar</u>	Accel. Approv. (clinical benefit not established) Treatment of adult patients with refractory anaplastic astrocytoma, i.e., patients at first relapse with disease progression on a nitrosourea and procarbazine containing regimen	<u>Schering</u>	Aug 11 1999
<u>teniposide, VM-26</u>	<u>Yumon</u>	In combination with other approved anticancer agents for induction therapy in patients with refractory childhood acute lymphoblastic leukemia (all).	<u>Bristol-Myers Squibb</u>	Jul 14 1992
<u>testolactone</u>	<u>Teslac</u>		<u>Bristol-Myers Squibb</u>	Jun 03 1969
<u>testolactone</u>	<u>Teslac</u>		<u>Bristol-Myers Squibb</u>	May 27 1970
<u>thioguanine, 6-TG</u>	<u>Thioguanine</u>		<u>GlaxoSmithKline</u>	Jan 18 1968
<u>thiotepa</u>	<u>Thioplex</u>		<u>Immunex Corporation</u>	Mar 09 1959
<u>thiotepa</u>	<u>Thioplex</u>		<u>Immunex Corporation</u>	Dec 22 1994
<u>thiotepa</u>	<u>Thioplex</u>		<u>Lederle Laboratories</u>	Aug 15 1990
<u>topotecan</u>	<u>Hycamtin</u>	Treatment of patients with metastatic carcinoma of the ovary after failure of initial or subsequent chemotherapy.	<u>GlaxoSmithKline</u>	May 28 1996
<u>topotecan</u>	<u>Hycamtin</u>	Treatment of small cell lung cancer sensitive disease after failure of first-line chemotherapy. In clinical studies submitted to support approval, sensitive disease was defined as disease responding to chemotherapy but subsequently progressing at least 60 days (in the phase 3 study) or at least 90 days (in the phase 2 studies) after chemotherapy	<u>GlaxoSmithKline</u>	Nov 30 1998
<u>toremifene</u>	<u>Fareston</u>	Treatment of advanced breast cancer in postmenopausal women.	<u>Orion Corp.</u>	May 29 1997
<u>Tositumomab</u>	<u>Bexxar</u>	Accel. Approv. (clinical benefit not established) Treatment of patients with CD20 positive, follicular, non-Hodgkin's lymphoma, with and without transformation, whose disease is refractory to Rituximab and has relapsed following chemotherapy	<u>Corixa Corporation</u>	Jun 27 2003
<u>Trastuzumab</u>	<u>Herceptin</u>	HERCEPTIN as a single agent is indicated for the treatment of patients with metastatic breast cancer whose tumors overexpress the HER2 protein and who have received one or more chemotherapy regimens for their metastatic disease.	<u>Genentech, Inc</u>	Sep 25 1998

<u>Trastuzumab</u>	<u>Herceptin</u>	Herceptin in combination with paclitaxel is indicated for treatment of patients with metastatic breast cancer whose tumors overexpress the HER-2 protein and had not received chemotherapy for their metastatic disease	<u>Genentech, Inc</u>	Feb 09 2000
<u>Trastuzumab</u>	<u>Herceptin</u>		<u>Genentech, Inc</u>	Dec 11 2001
<u>Trastuzumab</u>	<u>Herceptin</u>		<u>Genentech, Inc</u>	Aug 28 2002
<u>Trastuzumab</u>	<u>Herceptin</u>		<u>Genentech, Inc</u>	Aug 28 2002
<u>tretinoin, ATRA</u>	<u>Vesanoid</u>	Induction of remission in patients with acute promyelocytic leukemia (APL) who are refractory to or unable to tolerate anthracycline based cytotoxic chemotherapeutic regimens.	<u>Roche</u>	Nov 22 1995
<u>Uracil Mustard</u>	<u>Uracil Mustard Capsules</u>		<u>Roberts Labs</u>	Sep 13 1962
<u>valrubicin</u>	<u>Valstar</u>	For Intravesical therapy of BCG-refractory carcinoma in situ (CIS) of the urinary bladder in patients for whom immediate cystectomy would be associated with unacceptable morbidity or mortality.	<u>Anthra -&gt; Medeva</u>	Sep 25 1998
<u>vinblastine</u>	<u>Velban</u>		<u>Eli Lilly</u>	Nov 05 1965
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vincristine</u>	<u>Oncovin</u>		<u>Eli Lilly</u>	Jul 10 1963
<u>vinorelbine</u>	<u>Navelbine</u>	Single agent or in combination with cisplatin for the first-line treatment of ambulatory patients with unresectable, advanced non-small cell lung cancer (NSCLC).	<u>GlaxoSmithKline</u>	Dec 23 1994
<u>vinorelbine</u>	<u>Navelbine</u>	Navelbine is indicated as a single agent or in combination with cisplatin for the first-line treatment of ambulatory patients with unresectable, advanced non-small cell lung cancer (NSCLC). In patients with Stage IV NSCLC, Navelbine is indicated as a single agent or in combination with cisplatin. In Stage III NSCLC, Navelbine is indicated in combination with cisplatin.	<u>GlaxoSmithKline</u>	Nov 05 2002
<u>zoledronate</u>	<u>Zometa</u>	the treatment of patients with multiple myeloma and patients with documented bone metastases from solid tumors, in conjunction with standard antineoplastic therapy. Prostate cancer should have progressed after treatment with at least one hormonal therapy	<u>Novartis</u>	Feb 22 2002